L7 ANSWER 19 OF 62 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:332024 HCAPLUS
DOCUMENT NUMBER: 126:308827
TITLE: Peripherally active anti-hyperalgesic opiates
INVENTOR(S): Yaksh, Tony L.; Farrar, John J.; Maycock, Alan L.; Lewis, Michael E.; Dow, Gordon J.
PATENT ASSIGNEE(S): Regents of the University of California, USA; Adolor Corporation; Yaksh, Tony L.; Farrar, John J.; Maycock, Alan L.; Lewis, Michael E.; Dow, Gordon J.
SOURCE: PCT Int. Appl., 317 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

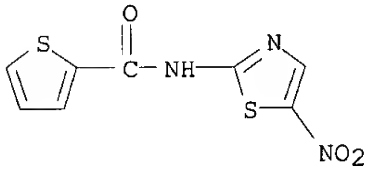
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
WO 9709973	A2	19970320	WO 1996-US14727	19960912	<--
WO 9709973	A3	19970605			
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG					
US 5849761	A	19981215	US 1995-528510	19950912	
CA 2229814	AA	19970320	CA 1996-2229814	19960912	<--
AU 9670710	A1	19970401	AU 1996-70710	19960912	<--
AU 727982	B2	20010104			
EP 852494	A2	19980715	EP 1996-931567	19960912	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI					
BR 9610345	A	19990601	BR 1996-10345	19960912	
JP 11512438	T2	19991026	JP 1996-512136	19960912	
JP 2002069004	A2	20020308	JP 2001-224729	19960912	
NO 9800700	A	19980512	NO 1998-700	19980219	
US 6166039	A	20001226	US 1998-199873	19981124	

PRIORITY APPLN. INFO.: US 1995-528510 A 19950912
JP 1997-512136 A3 19960912
WO 1996-US14727 W 19960912
OTHER SOURCE(S): MARPAT 126:308827

AB Compns. and methods using the compns. for treatment of peripheral hyperalgesia are provided. The compns. contain an anti-hyperalgesia effective amt. of one or more compds. that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit substantial central nervous system effects. The anti-diarrheal compd. loperamide-HCl is preferred for use in the compns. and methods.

IT **3810-35-3**, Tenonitrozole
RL: **THU (Therapeutic use)**; BIOL (Biological study); USES (Uses)
(peripherally active anti-hyperalgesic opiates)

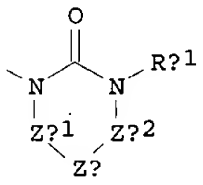
RN 3810-35-3 HCAPLUS
CN 2-Thiophenecarboxamide, N-(5-nitro-2-thiazolyl)- (7CI, 8CI, 9CI) (CA INDEX NAME)



AN 2002:314913 CAPLUS
DN 136:340689
TI Preparation of urea derivatives containing nitrogenous aromatic ring compounds as inhibitors of angiogenesis
IN Funahashi, Yasuhiro; Tsuruoka, Akihiko; Matsukura, Masayuki; Haneda, Toru; Fukuda, Yoshio; Kamata, Junichi; Takahashi, Keiko; Matsushima, Tomohiro; Miyazaki, Kazuki; Nomoto, Kenichi; Watanabe, Tatsuo; Obaishi, Hiroshi; Yamaguchi, Atsumi; Suzuki, Sachi; Nakamura, Katsuji; Mimura, Fusayo; Yamamoto, Yuji; Matsui, Junji; Matsui, Kenji; Yoshiba, Takako; Suzuki, Yasuyuki; Arimoto, Itaru
PA Eisai Co., Ltd., Japan
SO PCT Int. Appl., 699 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002032872	A1	20020425	WO 2001-JP9221	20011019
	WO 2002032872	C1	20020926		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001095986	A5	20020429	AU 2001-95986	20011019
PRAI	JP 2000-320420	A	20001020		
	JP 2000-386195	A	20001220		
	JP 2001-46685	A	20010222		
	WO 2001-JP9221	W	20011019		

OS MARPAT 136:340689
GI

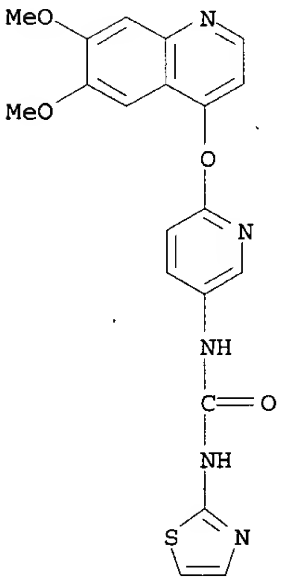
Q= 

AB N-aryl or N-heteroarylurea derivs. represented by the general formula Ag-Xg-Yg-Tg1 or salts thereof, or hydrates of both [wherein Ag = (un)substituted C6-14 aryl or 5- to 14-membered heterocyclic group; Xg = single bond, O, S, C1-6 alkylene, SO, SO2, (un)substituted NH; Yg = (un)substituted C6-14 aryl, 5- to 14-membered heterocyclic group, C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl-C1-6 alkyl, 5- to 14-membered heteroaryl-C1-6 alkyl, (CH2)gSO2 (g = 1-8), (CH2)faCH:CH(CH2)fb (fa, fb = 0, 1,2,3), etc.; and Tg1 = a group of the general formula -Eg-CO-NRg1(Zg) or Q; wherein Eg = a single bond, (un)substituted NH; Rg1 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 aliph. hydrocarbyl, etc.; Zg = C1-8 alkyl, C3-8 alicyclic hydrocarbyl, C6-14 aryl, etc.; Zg1, Zg2 = (a) a single bond, (b) C1-6 alkylene optionally having .gtoreq.1 atoms selected from O, S, and N in the middle or the terminus of the chain and optionally substituted with oxo, (c) (un)substituted C2-6 alkenyl] are prepd. These compds. are also

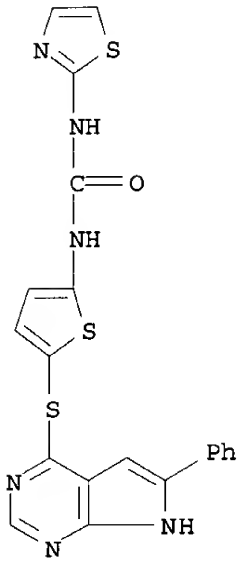
inhibitors of vascular endothelial growth factor receptor kinase (VEGFR2 kinase) and are useful as antitumor agents against hemangioma, pancreatic cancer, stomach cancer, colon cancer, breast cancer, prostate cancer, lung cancer, brain tumor, leukemia, or ovarian cancer, as cancer metastasis inhibitors, and for the treatment of retina neovascularization, diabetic retinopathy, atherosclerosis, or inflammatory diseases such as osteoarthritis, rheumatoid arthritis, psoriasis, or delayed hypersensitivity. Thus, to soln. of 334 mg 4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenylamine in 4 mL DMF were added 0.066 mL pyridine and 0.102 mL Ph chlorocarbonate and stirred at room temp. for 2.5 h to give 330 mg N-[4-[6-(4-benzyloxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea which (260 mg) was hydrogenolyzed over platinum oxide in ethanol overnight to give 160 mg N-[4-[6-(4-hydroxyphenyl)-7-(2-trimethylsilylethoxymethyl)-7H-pyrrolo[2,3-d]pyrimidin-4-yloxy]-2-chlorophenyl]-N'-cyclopropylurea (I). I showed IC50 of 0.02 nM for inhibiting the vascular endothelial growth factor (VEGF)-stimulated sandwich tube formation in vascular endothelial cell.

IT **417714-24-0P 417714-55-7P 417715-20-9P 417715-27-6P 417715-42-5P 417715-47-0P 417715-59-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of urea derivs. contg. nitrogenous arom. ring compds. as angiogenesis inhibitors for prevention or treatment of diseases)

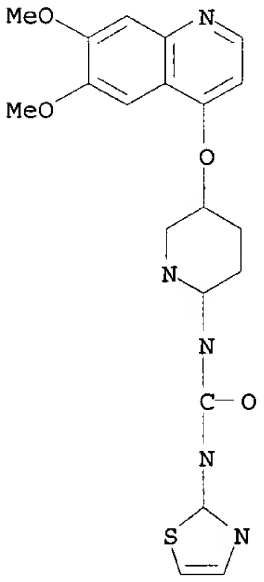
RN 417714-24-0 CAPLUS
CN Urea, N-[6-[(6,7-dimethoxy-4-quinolinyl)oxy]-3-pyridinyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



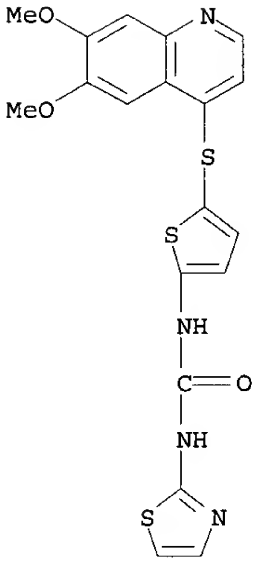
RN 417714-55-7 CAPLUS
CN Urea, N-[5-[(6-phenyl-1H-pyrrolo[2,3-d]pyrimidin-4-yl)thio]-2-thienyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



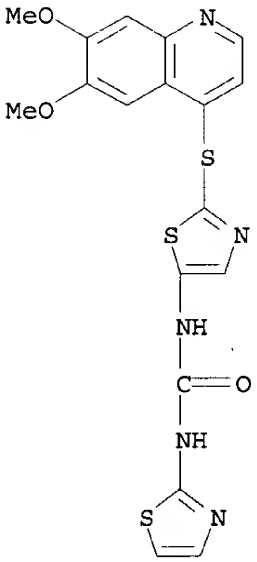
RN 417715-20-9 CAPLUS
CN Urea, N-[5-[(6,7-dimethoxy-4-quinolinyl)oxy]-2-pyridinyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



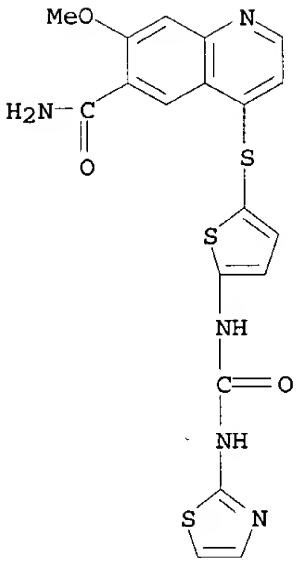
*** FRAGMENT DIAGRAM IS INCOMPLETE ***
RN 417715-27-6 CAPLUS
CN Urea, N-[5-[(6,7-dimethoxy-4-quinolinyl)thio]-2-thienyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



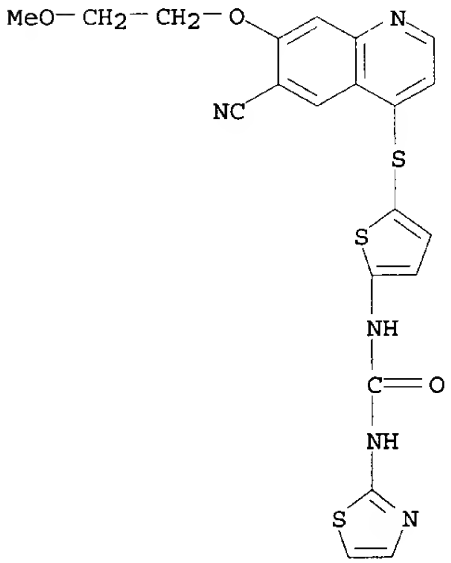
RN 417715-42-5 CAPLUS
CN Urea, N-[2-[(6,7-dimethoxy-4-quinolinyl)thio]-5-thiazolyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



RN 417715-47-0 CAPLUS
CN 6-Quinolinecarboxamide, 7-methoxy-4-[[5-[[(2-thiazolylamino)carbonyl]amino]-2-thienyl]thio]- (9CI) (CA INDEX NAME)



RN 417715-59-4 CAPLUS
CN Urea, N-[5-[[6-cyano-7-(2-methoxyethoxy)-4-quinolinyl]thio]-2-thienyl]-N'-2-thiazolyl- (9CI) (CA INDEX NAME)



RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT